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NEWS
                 "Ask CAS" for self-help around the clock
        FEB 28 PATDPAFULL - New display fields provide for legal status
NEWS
                 data from INPADOC
NEWS
        FEB 28
                BABS - Current-awareness alerts (SDIs) available
NEWS
        MAR 02
                GBFULL: New full-text patent database on STN
     5
        MAR 03
                REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS
NEWS
      7
        MAR 03
                MEDLINE file segment of TOXCENTER reloaded
NEWS
        MAR 22
                KOREAPAT now updated monthly; patent information enhanced
     9 MAR 22
NEWS
                Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS 10 MAR 22 PATDPASPC - New patent database available
NEWS 11 MAR 22
                REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 12 APR 04 EPFULL enhanced with additional patent information and new
                 fields
NEWS 13 APR 04 EMBASE - Database reloaded and enhanced
NEWS 14 APR 18 New CAS Information Use Policies available online
NEWS 15 APR 25 Patent searching, including current-awareness alerts (SDIs),
                based on application date in CA/CAplus and USPATFULL/USPAT2
                may be affected by a change in filing date for U.S.
                 applications.
NEWS
      16 APR 28
                Improved searching of U.S. Patent Classifications for
                U.S. patent records in CA/CAplus
     17 MAY 23
NEWS
                GBFULL enhanced with patent drawing images
NEWS
     18 MAY 23
                REGISTRY has been enhanced with source information from
                 CHEMCATS
NEWS
     19 JUN 06
                The Analysis Edition of STN Express with Discover!
                 (Version 8.0 for Windows) now available
NEWS
     20 JUN 13
                RUSSIAPAT: New full-text patent database on STN
NEWS
     21 JUN 13
                FRFULL enhanced with patent drawing images
NEWS 22 JUN 27
                MARPAT displays enhanced with expanded G-group definitions
                and text labels
NEWS
     23 JUL 01
                MEDICONF removed from STN
NEWS
     24 JUL 07
                STN Patent Forums to be held in July 2005
NEWS 25 JUL 13
                SCISEARCH reloaded
NEWS 26 JUL 20
                Powerful new interactive analysis and visualization software,
                STN AnaVist, now available
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NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

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*ENCOMPLIT2 - EnCompass Literature File 1964-Present (Non-Supporters

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*ENCOMPPAT2 - EnCompass Patent File 1964-Present (Non-Supporters)

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283 159351-69-6
3334968 PREP/RL
8 159351-69-6/PREP
(159351-69-6 (L) PREP/RL)
153 137071-32-0
3334968 PREP/RL
11 137071-32-0/PREP
(137071-32-0 (L) PREP/RL)

L1 16 (159351-69-6 OR 137071-32-0)/PREP

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L2 11 L1 AND P/DT

SORT ENTIRE ANSWER SET? (Y)/N:. PROCESSING COMPLETED FOR L3 L4 5 SORT L3 PY

=> d 1-5 ibib abs

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN-

ACCESSION NUMBER:

1998:495195 CAPLUS

DOCUMENT NUMBER:

129:183767

TITLE:

SDZ-ASM-981. Topical treatment for inflammatory skin

diseases ASM-981

AUTHOR (S):

Graul, A.; Castaner, J.

CORPORATE SOURCE: SOURCE:

Prous Science, Barcelona, 08080, Spain Drugs of the Future (1998), 23(5), 508-512

CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER:

Prous Science

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English

AB A review with 20 refs., describing synthesis, pharmacol. actions, tolerance, and clin. studies of the ascomycin macrolactam derivative SDZ-ASM-981 for topical treatment of inflammatory skin diseases.

REFERENCE COUNT:

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

23

ACCESSION NUMBER:

1999:240859 CAPLUS

DOCUMENT NUMBER:

131:67470

TITLE:

SDZ-RAD: immunosuppressant

AUTHOR(S):
CORPORATE SOURCE:

Sorbera, L. A.; Leeson, P. A.; Castaner, J. Prous Science, Barcelona, 08080, Spain

SOURCE:

Drugs of the Future (1999), 24(1), 22-29 CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English

AB A review with 53 refs. on preparation, pharmacokinetics, and pharmacol. of the title rapamycin derivative

REFERENCE COUNT:

ivativ 57

THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:720795 CAPLUS

DOCUMENT NUMBER:

138:280580

TITLE: AUTHOR(S): FDA new drug approvals in 2001 Zhao, Kang; He, Lan; Reiner, John

CORPORATE SOURCE:

The College of Pharmaceuticals and Biotechnology,

Tianjin University, Peop. Rep. China

SOURCE:

Frontiers of Biotechnology & Pharmaceuticals (2002),

3, 400-413

CODEN: FBPRBL

PUBLISHER: Science Press New York Ltd.
DOCUMENT TYPE: Journal; General Review

LANGUAGE:

English

AB A review covering the 24 new drugs approved by the Food and Drug Administration in the year 2001. Therapeutics are grouped according to the following coded areas: (A) agents affecting neurotransmitters and

cytokines, (B) antiinflammatory agents, (C) hormone related agents, (D) anti-infectious agents, and (E) miscellaneous agents. A synopsis for each drug

includes a brief description of its medical utility, a mechanism of action

if known, a chemical structure, and a pathway for its synthesis.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:282538 CAPLUS

DOCUMENT NUMBER:

141:150651

TITLE:

Pimecrolimus inhibits the elicitation phase but does not suppress the sensitization phase in murine contact

hypersensitivity, in contrast to tacrolimus and cyclosporine A. [Erratum to document cited in

CA140:022832]

AUTHOR (S):

Meingassner, Josef G.; Fahrngruber, Hermann; Bavandi,

Assadollah

CORPORATE SOURCE:

Novartis Research Institute, Vienna, Austria

SOURCE:

Journal of Investigative Dermatology (2003), 121(5),

1231

CODEN: JIDEAE; ISSN: 0022-202X

PUBLISHER:

Blackwell Publishing, Inc.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB In Figure 2, the number of animals treated with 4 + 90 mg/kg pimecrolimus p.o. is 14 and not 4.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:603337 CAPLUS

DOCUMENT NUMBER:

140:22832

TITLE:

Pimecrolimus inhibits the elicitation phase but does not suppress the sensitization phase in murine contact

hypersensitivity, in contrast to tacrolimus and

cyclosporine A

AUTHOR(S):

Meingassner, Josef G.; Fahrngruber, Hermann; Bavandi,

Assadollah

CORPORATE SOURCE:

Novartis Research Institute, Vienna, Austria

SOURCE:

Journal of Investigative Dermatology (2003), 121(1),

77-80

CODEN: JIDEAE; ISSN: 0022-202X

PUBLISHER:

Blackwell Publishing, Inc.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Pimecrolimus (SDZ ASM 981, Elidel) is a nonsteroid inflammatory cytokine inhibitor specifically developed for the treatment of inflammatory skin diseases. Its effect on the elicitation and sensitization phases of oxazolone-induced contact hypersensitivity was compared with tacrolimus and cyclosporine A (CyA) in BALB/c mice using the ear swelling assay. The compds. were administered orally. Elicitation was dose-dependently inhibited by all three compds. The minimal EDs were 30 mg per kg (pimecrolimus, tacrolimus) and 90 mg per kg (CyA), resp. There was no impairment of sensitization by pimecrolimus up to the highest dose tested

(120 mg per kg), in contrast to CyA (60% inhibition at 60 mg per kg) and tacrolimus (71% inhibition at 30 mg per kg). Weight and cellularity of the draining lymph nodes in mice treated with tacrolimus or CyA during sensitization were reduced. In addition, proliferation of T cells after secondary stimulation was inhibited in cell cultures from lymph nodes of mice treated with tacrolimus or CyA. Thus, in contrast to tacrolimus and CyA, pimecrolimus exerts a more selective immunomodulatory effect. It does not impair the primary immune response (sensitization phase) but effectively inhibits the secondary phase, the elicitation phase that is the clin. manifestation of contact hypersensitivity.

REFERENCE COUNT:

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> sort 12 py SORT ENTIRE ANSWER SET? (Y)/N:. PROCESSING COMPLETED FOR L2 L5 11 SORT L2 PY

=> d 1-11 ibib abs

L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:128509 CAPLUS

DOCUMENT NUMBER: 116:128509

TITLE: Preparation of tricyclic macrolides as drugs

INVENTOR(S): Baumann, Karl; Emmer, Gerhard

PATENT ASSIGNEE(S): Austria

SOURCE: Can. Pat. Appl., 66 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CA 2029694 CA 2029694	AA C	19910510 20010508	CA 1990-2029694		19901109
IL 96268	A1	19960723	IL 1990-96268		19901107
ZA 9009024	A	19920729	ZA 1990-9024		19901109
PRIORITY APPLN. INFO.:			DE 1989-3937336	Α	19891109
			DE 1989-3938132	Α	19891116
			DE 1989-3942831	Α	19891223
			DE 1989-3942833	Α	19891223

OTHER SOURCE(S): MARPAT 116:128509

GI

$$R^1$$
 Me Me R^2 R^2 R^3 R^5 R^6 R^6

The title compds. [I; R1 = Q, etc.; R2 = oxo or H2 when there is a single bond between C(23) and C(24), (protected) OH when there is a double bond between C(23) and C(24); R5 = Cl, Br, iodo; R6 = OH, MeO; R3 = Me, Et, Pr, allyl; R4 = OH when there is a single bond between C(10) and C(11), H when there is a double bond between C(10) and C(11)], useful as antiinflammatory immunosuppressants, antiproliferatives, and chemotherapeutic drug resistance reversing agents, were prepared FK506 was tert-butyldimethylsilylated with tert-butyldimethylchlorosilane and the resulting 24-tert-butyldimethylsilyloxy-FR506 was refluxed with Ph3Pin CCl4 to give 24-tert-butyldimethylsilyloxy-33-epi-33-chloro-FK506. In an in vivo test using a method described in Int. Arch. Allergy 38 (1970) (oxazolone allergic contact dermatitis in the mouse) topical administration of a 0.01% of I effected an activity between 15 and 68%.

L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1991:631991 CAPLUS

DOCUMENT NUMBER:

115:231991

TITLE:

Preparation of FK506 analogs as drugs

INVENTOR(S): Baum

PATENT ASSIGNEE(S):

Baumann, Karl; Emmer, Gerhard

Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.; Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE:

Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

. 2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

				`											
PAT	TENT NO.			KIN)	DATE		AP	PLICAT	'ION 1	10.			DATE	
					_						`				
EΡ	427680			A1		1991	0515	EP	1990-	81085	54			199011	07
EΡ	427680			В1		1995	0823								
	R: AT,	ΒE,	CH,	DE,	DK	, ES,	FR,	GB, GI	R, IT,	LI,	LU,	NL,	SE	}	
ΑU	9065843			A1		1991	0523	AU	1990-	65843	3			199011	07
ΑU	640963			B2		1993	0909								
ES	2077663			Т3		1995	1201	ES	1990-	81085	54			199011	07
IL	96268			A1		1996	0723	ΙL	1990-	96268	3			199011	07
·JP	03223291			A2		1991	1002	JP	1990-	30589	94			199011	80
JР	2750302			В2		1998	0513						*		

KR 166074	B1	19990115	KR 1990-18016		19901108
ZA 9009024	A	19920729	ZA 1990-9024		19901109
LV 11621	В	19970420	LV 1996-253		19960717
PRIORITY APPLN. INFO.:			DE 1989-3937336	Α	19891109
		•	DE 1989-3938132	Α	19891116
			DE 1989-3942831	Α	19891223
			DE 1989-3942833	Α	19891223
			DE 1990-4006819	Α	19900305

OTHER SOURCE(S):

MARPAT 115:231991

GI

01=

$$R^1$$
 Me
 R^2
 R^1
 R^2
 R^3
 Me
 R^3
 R^4
 R^5
 R^7
 R^6
 R^6

The title compds. [I in which R1 = cyclohexyl group Q1; R2R10 = O and R4 = H or R2 = (un)protected OH and R10 = R11 or R10R11 = bond or R1 = H and R10R11 = bond; R3 = Me, Et, Pr, allyl; R4 = OH and R12 = H; R4R12 = bond; R5 = Cl, Br, iodo, N3; R6 = OH, MeO; R7 = H; I in which R1 = Q1 or cyclopentyl group Q2; R2, R3, R5, R6, R7, R10, and R11 as above; R4 = OH and R12 = H; R5 = H; I in which R1 = Q1; R3, R4, and R6 as above; R2R10 = O and R11 = H; R2 = H and R10R11 = bond; R2 = (un)protected OH, MeO, OCH2SMe, MeCHCO2, etc. and R10 = R11= H or R10R11= bond; R5 = H; R7 = groups cited for R2, etc.; R5R7 = O] were prepared as antiproliferative, antiinflammatory, and immunosuppressant agents (no data). Thus, FK506 was diprotected and monodeprotected to give 24-tert-butyldimethylsilyloxy-FK506 which was refluxed 15 h with Ph3P in CCl4 to give I (R1 = Q1, R2 = OSiMe2CMe3, R3 = allyl, R4 = OH, R5 = Cl, R6 = OMe, R7 = H, R10 - R12 = H).

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1

1992:511384 CAPLUS

DOCUMENT NUMBER:

117:111384

TITLE:

New halomacrolides and derivatives having

immunosuppressive activity

INVENTOR(S):

Bochis, Richard J.; Wyvratt, Matthew J., Jr.

PATENT ASSIGNEE(S):

Merck and Co. Inc., USA Eur. Pat. Appl., 39 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 480623	A1	19920415	EP 1991-309025	19911002
R: CH, DE, FR,	GB, IT	, LI, NL	•	
US 5143918	A	19920901	US 1991-759747	19910912
CA 2052885	AA	19920412	CA 1991-2052885	- 19911007
JP 04257590	A2	19920911	JP 1991-263732	19911011
PRIORITY APPLN. INFO.:			US 1990-596177 A	19901011
OTHER SOURCE(S):	MARPAT	117:111384		•
GI				

$$R^2$$
 R^1
 Me
 $(CH_2)_n$
 R^3
 $(CH_2)_n$
 R^4
 R^3
 R^4
 R^3
 R^4
 R

AB Macrolides I (R = Me, Et, Pr, allyl; R1, R2 = halo, OH, alkoxy; R3 = H, OH, R4 = H; R3R4 = bond; X = O, H, OH; n = 1, 2) were prepared Thus, I [R = 1] Et, R1 = OMe, R4 = H, X = O, n = 2 (II)] (R2 = β -C1, R3 = OH) was prepared from II (R2, R3 = OH) by selective silylation, acylation with 4-O2NC6H4SO2C1, treatment of II [R2 = O3SC6H4NO2-4, R3 = OSi(CHMe2)3] with LiCl, and deblocking. II (R2 = β -Cl, R3 = OH) had a T cell proliferation-inhibiting ED50 of <1 + 10-6 M.

ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

Ι

ACCESSION NUMBER:

1995:220179 CAPLUS

DOCUMENT NUMBER:

122:9774

TITLE:

O-alkylated rapamycin derivatives and their use,

particularly as immunosuppressants

INVENTOR(S): Cottens, Sylvain; Sedrani, Richard

PATENT ASSIGNEE(S): Sandoz-Erfindungen Verwaltungsgesellschaft M.B.H.,

Austria; Sandoz-Patent-GmbH; Sandoz Ltd.

PCT Int. Appl., 43 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
		WO 1993-EP2604	
W: AU, CA, CZ,	FI, HU, JP, KR,	NO, NZ, PL, RO, RU, S	K, US
מם את שם כט	חב חע בכ בס	CD CD TE TE TIL M	C NI DT CE
CA 2145383	AA 19940428	CA 1993-2476257 AU 1993-48192 EP 1993-920822	19930924
CA 2145383	C 20041116		
CA 2476257	AA 19940428	CA 1993-2476257	19930924
AU 9348192	A1 19940509	AU 1993-48192	19930924
AU 676198	B2 19970306	,	
EP 663916	A1 19950726	EP 1993-920822	19930924
EP 663916	B1 19981125		
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IE, IT, LI, L	U, NL, PT, SE
HU 71232	A2 19951128	HU 1995-1016 JP 1994-509552	19930924
JP 08502266	T2 19960312	JP 1994-509552	19930924
JP 3117462	B2 20001211		
CZ 283333	B6 19980218	CZ 1995-899	19930924
AT 173736	E 19981215	CZ 1995-899 AT 1993-920822 ES 1993-920822 PL 1993-308268 RO 1995-686	19930924
ES 2124793	T3 19990216	ES 1993-920822	19930924
PL 176174	B1 19990430	PL 1993-308268 RO 1995-686	19930924
RO 114451	B1 19990430	RO 1995-686	19930924
RU 2143434	C1 19991227	RU 1995-110053	19930924
EP 1413581	A1 20040428	EP 2003-28783	19930924
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, N AT 1997-114343 ES 1997-114343 NO 1995-1312	L, SE, PT, IE
AT 272063	E 20040815	AT 1997-114343	19930924
ES 2225919	T3 20050316	ES 1997-114343	19930924
NO 9501312	A 19950608	NO 1995-1312	19950405
NO 307053	B1 20000131		
FI 9501678 FI 109540 US 5665772	A 19950407	FI 1995-1678	19950407
FI 109540	B1 20020830	_	
US 5665772	A 19970909	US 1995-416673	19950407
US 6440990	B1 20020827	US 1997-862911	19970523
EP 867438	A1 . 19980930	EP 1997-114343	19970903
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, N	L, SE, PT, IE
JP 11240884	A2 19990907	JP 1998-308355 FI 2000-1943	19981029
JP 3568800	B2 20040922		
FI 2000001943	A 20000904	FI 2000-1943	20000904
PRIORITY APPLN. INFO.:		GB 1992-21220	A 19921009
		CA 1993-2145383 EP 1993-920822 WO 1993-EP2604	A3 19930924
		EP 1993-920822	A3 19930924
	•	WO 1993-EP2604	W 19930924
		US 1995-416673	A3 19950407
OTHER SOURCE(S):	MARRIE 100 000	US 1995-416673 EP 1997-114343	A3 19970903
OTHER SOURCE(S):	MARPAT 122:9774		

GI

AB Novel O-alkylated derivs. of rapamycin I [X = O, H2; Y = O, H,OH; R1, R2 = H, (un)substituted alkyl, alkenyl, organosilyl; R3 = Me; R1R3 = alkylene], especially 40-O-alkylated derivs., have pharmaceutical utility, particularly as immunosuppressants. Rapamycin was treated with Me3CSiMe2OCH2CH2O3SCF3 and desilylated to give 40-O-(2-hydroxyethyl)rapamycin which had the following IC50 relative to rapamycin 1: mixed lymphocyte reaction 2.2, IL-6-dependent proliferation 2.8, macrophilin binding 3.4.

Ι

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1995:183900 CAPLUS

DOCUMENT NUMBER:

122:187265

TITLE:

Heteroatom-containing macrolides and their

antiinflammatory, immunosuppressive, and

antiproliferative activity

INVENTOR(S):

Baumann, Karl; Emmer, Gerhart

PATENT ASSIGNEE(S):

Sandoz Ltd., Switz.

SOURCE:

U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 609,280,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

			·		
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 5352671	A	19941004	US 1991-697864		19910509
IL 96268	A1	19960723	IL 1990-96268		19901107
ZA 9009024	Α	19920729	ZA 1990-9024		19901109
US 5912238	Α	19990615	US 1994-276276		19940718
PRIORITY APPLN. INFO.:			DE 1989-3937336	Α	19891109
•			DE 1989-3938132	Α	19891116
			DE 1989-3942831	Α	19891223
			DE 1989-3942833	A	19891223
	•		DE 1990-4006819	Α	19900305
•			US 1990-609280	B2	19901105
			US 1991-697864	A3	19910509

OTHER SOURCE(S):

MARPAT 122:187265

GI

AB The invention concerns the compds. of formula I wherein the substituents have various significances. They are prepared by several processes including epimerizing replacement, treatment with cyanogen bromide or thiophosgene, treatment with an acid having a non-nucleophilic anion, treatment with DMSO and acetic anhydride, acylation, treatment with an oxalyl derivative and ammonia, methylation, oxidation, deprotection and protection. They possess interesting pharmacol. activity as antiinflammatory (e.g., 15-68% activity at topical concentration of about 0.01%),

immunosuppressant (IC50 from 0.0024 to 0.32 $\mu g/mL$), antiproliferative (IC50 dose from < 0.0008 $\mu g/mL$ to about 0.09 $\mu g/mL$) and chemotherapeutic drug resistance reversing agents. Pharmaceutical formulations are given.

L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

Ι

ACCESSION NUMBER:

2002:696697 CAPLUS

DOCUMENT NUMBER:

137:218731

TITLE:

Process for purifying a cyclosporin

INVENTOR(S):

Fuenfschilling, Peter; Schenkel, Berthold

PATENT ASSIGNEE(S):

Switz.

SOURCE:

U.S. Pat. Appl. Publ., 11 pp., Cont. of U.S. Ser. No.

652,295, abandoned.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PAT	PATENT NO.		PATENT NO.		DATE API		PLICATION NO.		DATE		
						_					
US	2002128470	A1	20020912	US	2001-21117		20011029				
US	6620325	B2	20030916								
CH	692839	Α	20021129	CH	1997-2085		19970905				
US	2004050782	A1	20040318	US	2003-624997		20030723				
US	2005072736	A1	20050407	US	2003-625142		20030723				
PRIORITY	Y APPLN. INFO.:			GB	1996-18952	Α	19960911				

US	1997-926722	B1	19970910
	1999-271672	B1	19990318
	2000-652295		20000831
			20011029
US	2001-21117	A.S	Z0011029

AB This invention provides a process for purifying a cyclosporin, e.g. cyclosporin A, or a macrolide, to a high degree of purity on a large scale. In another aspect this invention provides a bulk quantity of cyclosporin A with an impurity level of less than about 0.7%, e.g. about 0.5%, and compns. thereof.

L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:875067 CAPLUS

DOCUMENT NUMBER:

139:354487

TITLE:

Polymer compositions containing a macrocyclic triene

compound

INVENTOR(S):

Shulze, John E.; Betts, Ronald E.; Savage, Douglas R.

Sun Bow Co., Ltd., Bermuda; Sun Biomedical Ltd.

SOURCE:

PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

	KIND DATE		DATE
WO 2003090684 WO 2003090684 WO 2003090684 WO 2003090684	A2 20031106 A3 20040226 B1 20040513		20030424
W: AE, AG, AI CO, CR, CU GM, HR, HU LS, LT, LU PH, PL, PT TZ, UA, UC RW: GH, GM, KE KG, KZ, MI FI, FR, GE	L, AM, AT, AU, AZ, U, CZ, DE, DK, DM, U, ID, IL, IN, IS, U, LV, MA, MD, MG, T, RO, RU, SC, SD, G, US, UZ, VC, VN, E, LS, MW, MZ, SD, D, RU, TJ, TM, AT, B, GR, HU, IE, IT,	SL, SZ, TZ, UG, ZM, ZW, BE, BG, CH, CY, CZ, DE, LU, MC, NL, PT, RO, SE,	GD, GE, GH, LC, LK, LR, NO, NZ, OM, TN, TR, TT, AM, AZ, BY, DK, EE, ES, SI, SK, TR,
BF, BJ, CF US 2003125800 WO 2003090818	F, CG, C1, CM, GA, A1 20030703 A2 20031106		20020424
WO 2003090818 W: AE, AG, AI CO, CR, CU GM, HR, HU LS, LT, LU PH, PL, PT TZ, UA, UC RW: GH, GM, KE KG, KZ, ML FI, FR, GE BF, BJ, CF	A3 20031204 L, AM, AT, AU, AZ, U, CZ, DE, DK, DM, U, ID, IL, IN, IS, U, LV, MA, MD, MG, T, RO, RU, SC, SD, G, US, UZ, VC, VN, E, LS, MW, MZ, SD, D, RU, TJ, TM, AT, B, GR, HU, IE, IT, F, CG, CI, CM, GA,	BA, BB, BG, BR, BY, BZ, DZ, EC, EE, ES, FI, GB, JP, KE, KG, KP, KR, KZ, MK, MN, MW, MX, MZ, NI, SE, SG, SK, SL, TJ, TM, YU, ZA, ZM, ZW SL, SZ, TZ, UG, ZM, ZW, BE, BG, CH, CY, CZ, DE, LU, MC, NL, PT, RO, SE, GN, GQ, GW, ML, MR, NE,	CA, CH, CN, GD, GE, GH, LC, LK, LR, NO, NZ, OM, TN, TR, TT, AM, AZ, BY, DK, EE, ES, SI, SK, TR, SN, TD, TG
		EP 2003-747310 GB, GR, IT, LI, LU, NL, CY, AL, TR, BG, CZ, EE,	
EP 1518517 R: AT, BE, CH	A2 20050330 H, DE, DK, ES, FR,		20030424 SE, MC, PT,

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PRIORITY APPLN. INFO.: .
                                              US 2002-133814
                                                                    A1 20020424
                                              US 2001-337970P
                                                                   P 20011105
                                                                    A3 20030424
                                              EP 2003-747310
                                              WO 2003-US12750
                                                                    W 20030424
OTHER SOURCE(S):
                          MARPAT 139:354487
     A polymer composition for use in delivering a macrocyclic triene compound to a
     subject is described. The polymer composition is comprised of a polymer
     substrate containing as the macrocyclic triene compound a 40-O-hydroxy alkyl
     rapamycin derivative, where the alkyl group contains between 7-11 carbon
     atoms. The composition is useful for treating any condition responsive to
     rapamycin or everolimus. Everolimus [40-O-(2-hydroxyethyl)rapamycin] was
     prepared and a stent containing everolimus in a polylactide coating was
prepared
     ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
                          2003:532138 CAPLUS
DOCUMENT NUMBER:
                          139:106521
                          Medical devices containing rapamycin analogs
TITLE:
INVENTOR(S):
                          Mollison, Karl W.; Lecaptain, Angela M.; Burke, Sandra
                          E.; Cromack, Keith R.; Tarcha, Peter J.; Chen,
                          Yen-chih J.; Toner, John L.
                          T-Ram, Inc., USA
PATENT ASSIGNEE(S):
SOURCE:
                          U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S.
                          Ser. No. 950,307.
                          CODEN: USXXCO
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          English
FAMILY ACC. NUM. COUNT:
                          3
PATENT INFORMATION:
                                            APPLICATION NO.
     PATENT NO.
                          KIND
                                 DATE
                                                                      DATE
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                                              _____
                                                                       -----
     US 2003129215
                         · A1
                                  20030710 US 2002-235572
                                                                       20020906
     US 6015815
                                  20000118
                                            US 1998-159945
                         Α
     US 6329386
                                  20011211
                                             US 1999-433001
                          В1
                                                                       19991102
                                             US 2001-950307
     US 2002123505
                         A1
                                  20020905
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     US 6890546
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                                  20050510
     CA 2460074
                          AA
                                  20030320
                                              CA 2002-2460074
                                                                       20020910
     CA 2497640
                                              CA 2003-2497640
                          AA
                                  20040318
                                                                       20030310
     WO 2004022124
                                  20040318
                                             WO 2003-US7383
                          A1
                                                                       20030310
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                             EP 2003-714060
     EP 1536850
                          A1
                                20050608
                                                                      20030310
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     US 2004234573
                          A1
                                 20041125
                                              US 2004-488815
                                                                       20040305
PRIORITY APPLN. INFO.:
                                              US 1998-159945
                                                                   A3 19980924
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A2 19991102

A2 20010910

P 19970926 A 20020906

US 1999-433001

US 2001-950307

US 1997-60105P

US 2002-235572

WO 2002-US28776 20020910 WO 2002-US28798 Α 20020910 WO 2003-US7383 W 20030310

AB A medical device comprising a supporting structure and a coating containing a therapeutic substance, such as, for example, a drug. Supporting structures for the medical devices that are suitable for use in this invention include, but are not limited to, coronary stents, peripheral stents, catheters, arterio-venous grafts, bypass grafts, and drug delivery balloons used in the vasculature. Drugs that are suitable for use in this invention include, but are not limited to, rapamycin analogs. The drug can be used in combination with a drug selected from anti-proliferative agents, antiplatelet agents, anti-inflammatory agents, antithrombotic agents, cytotoxic drugs, agents that inhibit cytokine or chemokine binding, cell de-differentiation inhibitors, cytostatic drugs, or combinations of these drugs. For example, the rapamycin analog A-179578, when compounded and delivered from a coronary stent, favorably affected neointimal hyperplasia and lumen size in porcine coronary arteries.

ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:878401 CAPLUS

DOCUMENT NUMBER:

141:367859

TITLE:

Process for the crystallization and purification of

macrolides

INVENTOR(S):

Keri, Vilmos; Csorvasi, Andrea

PATENT ASSIGNEE(S):

Biogal Gyogyszergyar Rt., Hung.; Teva Pharmaceuticals

USA, Inc.; Teva Gyogyszergyar Reszvenytarsasag

SOURCE:

PCT Int. Appl., 19 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT	INFORMATION:

	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
	WO 2004089958 A2 20041021 WO 2004089958 A3 20050113 WO 2004089958 B1 20050303			WO 2004-US10033						20040331								
	wo	W:	AE, CN, GE, LK, NO, TJ, BW, BY, ES,	AG, CO, GH, LR, NZ, TM, GH, KG, FI,	AL, CR, GM, LS, OM, TN, GM, KZ, FR,	AM, CU, HR, LT, PG, TR, KE, MD, GB,	AT, CZ, HU, LU, PH, TT, LS, RU, GR,	AU, DE, ID, LV, PL, TZ, MW, TJ,	AZ, DK, IL, MA, PT, UA, MZ, TM, IE,	DM, IN, MD, RO, UG, SD, AT, IT,	DZ, IS, MG, RU, US, SL, BE, LU,	BG, EC, JP, MK, SC, UZ, SZ, BG, MC, GN,	EE, KE, MN, SD, VC, TZ, CH, NL,	EG, KG, MW, SE, VN, UG, CY, PL,	ES, KP, MX, SG, YU, ZM, CZ, PT,	FI, KR, MZ, SK, ZA, ZW, DE, RO,	GB, KZ, NA, SL, ZM, AM, DK, SE,	GD, LC, NI, SY, ZW AZ, EE, SI,
PRIO	EP TR	2004: 1513: R: 2005:	847 AT, IE, 0030	01 BE, SI, 2	CH, LT,	A2 DE, LV, T3	DK, FI,	2005 ES, RO, 2005	0316 FR, MK, 0421	GB, CY,	EP 2 GR, AL, TR 2 US 2	004- IT, TR, 005- 003-	7587 LI, BG, 2005	30 LU, CZ, 0030: 91P	NL, EE, 2	2: SE, HU, 2: P 2:	00403 MC, PL, 00403	331 PT, SK 331 331
AB	Αn	netho	d fo	r the	e cr	vsta:	lliz	at io	n and	,	US 2 WO 2	003- 003- 004-	5128 US10	87P 033	1	P 2	0031 0040	020 331

A method for the crystallization and purification of a macrolide such as tacrolimus,

sirolimus, pimecrolimus, or everolimus is described which includes the step of providing a combination of a macrolide (e.g., a tacrolimus fermentation broth), and a polar solvent, dipolar aprotic solvent, or hydrocarbon solvent at pH of ≥ 7 .

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN L5

ACCESSION NUMBER:

2004:41124 CAPLUS

DOCUMENT NUMBER: TITLE:

Rapamycin and O-alkylated rapamycin derivatives for

alleviation and inhibition of lymphoproliferative

disorders

140:93840

INVENTOR (S):

Wasik, Mariusz A.; Shaw, Leslie M.

PATENT ASSIGNEE(S):

The Trustees of the University of Pennsylvania, USA

U.S. Pat. Appl. Publ., 23 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004010002	A1	20040115	US 2002-192193	20020709
PRIORITY APPLN. INFO.:			US 2002-192193	20020709
OTHER SOURCE(S):	MARPAT	140:93840		
CI				

AB The present invention relates to methods of alleviating and inhibiting a lymphoproliferative disorder in a mammal, the method comprising administering one or more rapamycin derivs. such as I [X = H2, 0; Y =H(OH), O; R1, R2 = H, alkyl, thioalkyl, arylalkyl, hydroxyalkyl, alkoxyalkyl, acyloxyalkyl, aminoalkyl, acylaminoalkyl, arylsulfonamidoalkyl, (R3)3Si; R3= H, Me, Et, iso-Pr, tert-Bu, phenyl; R4 = Me; R1R4 = alkylene], (including rapamycin) to the mammal. Further, the invention provides a method for identifying agents which are useful for alleviating and inhibiting a lymphoproliferative disorders, as well as a method for identifying agents which are capable of inhibiting metastasis

of lymphatic tumors in a mammal.

ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:99513 CAPLUS

DOCUMENT NUMBER: 142:134837

TITLE: Method of purifying glycoside macrolides using

sorption resin and suitable eluent solvents

INVENTOR(S): Keri, Vilmos; Czovek, Zoltan

PATENT ASSIGNEE(S): Biogal Gyogyszergyar Rt., Hung.; Teva Pharmaceuticals

USA, Inc.; Teva Gyogyszergyar Reszvenytarsasag;

Csorvasi, Andrea; Rantal, Ferenc

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			KIND DATE		APPLICATION NO.			NO.	DATE							
WO 20	- 050100	15		A1	_	2005	0203	1	WO 2	 004-I	JS24	318		2	0040	726
WO 20	050100	15		В1		2005	0317									
W	: AE,	AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JΡ,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
RI	W: BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	· AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
	SN,	TD,	TG													
US 2005027112			A1		2005	0203	Ī	JS 2	004-8	3997!	57		2	040	726	
PRIORITY APPLN. INFO.:							Ţ	JS 2	003-4	4900'	70P]	P 20	0030.	724	
								Ţ	JS 2	004-5	5393	63P	1	P 20	0040	126

AB Provided is a method of purifying a macrolide, especially tacrolimus, that includes loading macrolide onto a bed of sorption resin and eluting with a suitable eluent such as a combination of water and THF.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:128509 CAPLUS

DOCUMENT NUMBER: 116:128509

TITLE: Preparation of tricyclic macrolides as drugs

INVENTOR(S): Baumann, Karl; Emmer, Gerhard

PATENT ASSIGNEE(S): Austria

SOURCE: Can. Pat. Appl., 66 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO. KIND APPLICATION NO. DATE

Thomas McKenzie Page 16

CA 2029694	AA	19910510	CA 1990-2029694	19901109
CA 2029694	C	20010508		
IL 96268	A1	19960723	IL 1990-96268	19901107
ZA 9009024	Α	19920729	ZA 1990-9024	19901109
PRIORITY APPLN. INFO.:			DE 1989-3937336 A	19891109
•			DE 1989-3938132 A	19891116
			DE 1989-3942831 A	19891223
			DE 1989-3942833 A	19891223
OTHER SOURCE(S):	MARPAT	116:128509		

IT137071-32-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as drug)

RN 137071-32-0 CAPLUS

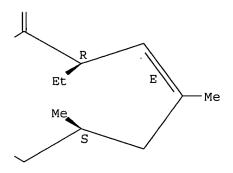
CN15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)tetrone, 3-[(1E)-2-[(1R,3R,4S)-4-chloro-3-methoxycyclohexyl]-1methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26ahexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-, (3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by E or Z.

PAGE 1-A

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PAGE 2-B



L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1991:631991 CAPLUS

DOCUMENT NUMBER:

115:231991

TITLE:

Preparation of FK506 analogs as drugs

INVENTOR(S):

Baumann, Karl; Emmer, Gerhard

PATENT ASSIGNEE(S):

Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.;

Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE:

Eur. Pat. Appl., 44 pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 427680	A1	19910515	EP 1990-810854	19901107
EP 427680	B1	19950823		
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IT, LI, LU, NL	, SE
AU 9065843	A1	19910523	AU 1990-65843	19901107
AU 640963	B2	19930909		
ES 2077663	Т3	19951201	ES 1990-810854	19901107
IL 96268	A1	19960723	IL 1990-96268	19901107
JP 03223291	A2	19911002	JP 1990-305894	19901108
JP 2750302	B2	19980513		
KR 166074	B1	19990115	KR 1990-18016	19901108
ZA 9009024	A	19920729	ZA 1990-9024	19901109
LV 11621	В	19970420	LV 1996-253	19960717
PRIORITY APPLN. INFO.:			DE 1989-3937336	A 19891109
	•		DE 1989-3938132	A 19891116
			DE 1989-3942831	A 19891223
•			DE 1989-3942833	A 19891223
			DE 1990-4006819	A 19900305

OTHER SOURCE(S): MARPAT 115:231991.

IT 137071-32-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as drug)

RN 137071-32-0 CAPLUS

CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 3-[(1E)-2-[(1R,3R,4S)-4-chloro-3-methoxycyclohexyl]-1-methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-,

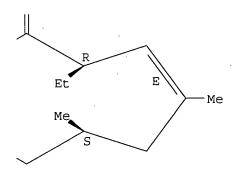
(3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by E or Z.

PAGE 1-A

PAGE 1-B

PAGE 2-B



L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:5

DOCUMENT NUMBER:

1992:511384 CAPLUS 117:111384

TITLE:

New halomacrolides and derivatives having

immunosuppressive activity

INVENTOR(S):

Bochis, Richard J.; Wyvratt, Matthew J., Jr.

PATENT ASSIGNEE(S):

Merck and Co. Inc., USA $\,$

SOURCE:

Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

		•	,
PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 480623	A1 199204	15 EP 1991-309025	19911002
R: CH, DE, FR,	GB, IT, LI, N	L	
US 5143918	A 199209		19910912

Thomas McKenzie

Page 21

CA 2052885 AΑ 19920412 CA 1991-2052885 19911007 A2 19920911 JP 1991-263732 19911011 JP 04257590 PRIORITY APPLN. INFO.: US 1990-596177 19901011 OTHER SOURCE(S): MARPAT 117:111384 IT 137071-32-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 137071-32-0 CAPLUS

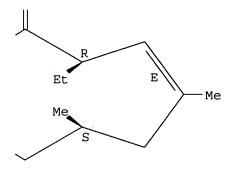
CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 3-[(1E)-2-[(1R,3R,4S)-4-chloro-3-methoxycyclohexyl]-1-methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-, (3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)- (9CI) (CA INDEX NAME)

(preparation and immunosuppressant activity of)

Absolute stereochemistry. Double bond geometry as described by ${\tt E}$ or ${\tt Z}$.

PAGE 1-A

PAGE 2-B



ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1995:220179 CAPLUS

DOCUMENT NUMBER:

122:9774

TITLE:

O-alkylated rapamycin derivatives and their use,

particularly as immunosuppressants

INVENTOR(S): PATENT ASSIGNEE(S): Cottens, Sylvain; Sedrani, Richard Sandoz-Erfindungen Verwaltungsgesellschaft M.B.H.,

Austria; Sandoz-Patent-GmbH; Sandoz Ltd. PCT Int. Appl., 43 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DAT	TE A	APPLICATION NO.	DATE
WO 9409010	A1 199	940428 V	NO 1993-EP2604	19930924
W: AU, CA, CZ,				
RW: AT, BE, CH,				
CA 2145383 CA 2145383	AA 199	940428 (CA 1993-2145383	19930924
CA 2145383	C 200)41116		
CA 2476257				
			AU 1993-48192	19930924
	B2 199			
EP 663916			EP 1993-920822	19930924
EP 663916				
R: AT, BE, CH,	DE, DK, ES	S, FR, GB,	GR, IE, IT, LI,	LU, NL, PT, SE
HU 71232	A2 199	951128 F	łU 1995-1016	19930924
HU 71232 JP 08502266 JP 3117462	T2 199	960312 J	JP 1994-509552	19930924
JP 3117462	B2 200	001211		
CZ 283333	B6 199	980218 C	CZ 1995-899	19930924
AT 173736 ES 2124793	E 199	981215 <i>P</i>	AT 1993-920822	19930924
ES 2124793	T3 199	990216 E	ES 1993-920822	19930924
PL 176174	B1 199	990430 E	PL 1993-308268	19930924
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RU 2143434				
EP 1413581			EP 2003-28783	
R: AT, BE, CH,	DE, DK, ES	S, FR, GB,	GR, IT, LI, LU,	NL, SE, PT, IE
AT 272063 ES 2225919 NO 9501312	E 200)40815 <i>P</i>	AT 1997-114343	19930924
ES 2225919	T3 200)50316 E	ES 1997-114343	19930924
NO 9501312	A 199	950608 N	NO 1995-1312	19950405
NO 307053	B1 200	00131		

FI 950)1678	Α	19950407	FI	1995-1678	19950407	7
FI 109	9540	B1	20020830				
US 566	55772	Α	19970909	US	1995-416673	19950407	7
US 644	10990	B1	20020827	US	1997-862911	19970523	3.
EP 867	7438	A1	19980930	EP	1997-114343	19970903	3
R:	AT, BE, CH	DE, DK	, ES, FR,	GB, GI	R, IT, LI, LU,	NL, SE, PT, II	
JP 112	240884	A2	19990907	JР	1998-308355	19981029)
JP 356	0088	B2	20040922				
FI 200	0001943	A	20000904	FI	2000-1943	20000904	ŀ
PRIORITY AP	PPLN. INFO.:			GB	1992-21220	A 19921009	}
				CA	1993-2145383	A3 19930924	ŀ
			•	EP	1993-920822	A3 19930924	l .
				WO	1993-EP2604	W 19930924	<u> </u>
			•	US	1995-416673	A3 19950407	7
				EP	1997-114343	A3 19970903	3
OTHER SOURC	CE(S):	MARPAT	122:9774				
IT 159351	L-69-6P						
RL: SP	N (Synthetic	preparat	tion); PR I	EP (Pr	eparation)		
(pr	reparation and	d immuno:	suppressai	nt and	neoplasm-inhi	biting activity	of)

159351-69-6 CAPLUS RN

Rapamycin, 42-0-(2-hydroxyethyl) - (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 2-A

Me

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:183900 CAPLUS

DOCUMENT NUMBER: 122:187265

TITLE:

Heteroatom-containing macrolides and their antiinflammatory, immunosuppressive, and

antiproliferative activity

INVENTOR (S):

Baumann, Karl; Emmer, Gerhart

PATENT ASSIGNEE(S):

Sandoz Ltd., Switz.

SOURCE:

U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 609,280,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 5352671	Α	19941004	US 1991-697864		19910509
IL 96268	A1	19960723	IL 1990-96268		19901107
ZA 9009024	A	19920729	ZA 1990-9024		19901109
US 5912238	A	19990615	US 1994-276276		19940718
PRIORITY APPLN. INFO.:			DE 1989-3937336	Α	19891109
			DE 1989-3938132	Α	19891116
			DE 1989-3942831	Α	19891223
		,	DE 1989-3942833	Α	19891223
			DE 1990-4006819	A	19900305
			US 1990-609280	В2	19901105
			US 1991-697864	Α3	19910509

OTHER SOURCE(S): MARPAT 122:187265

IT 137071-32-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(heteroatom-containing macrolides and their antiinflammatory, immunosuppressive, and antiproliferative activity)

RN 137071-32-0 CAPLUS

CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 3-[(1E)-2-[(1R,3R,4S)-4-chloro-3-methoxycyclohexyl]-1-methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-, (3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)- (9CI) (CA INDEX NAME)

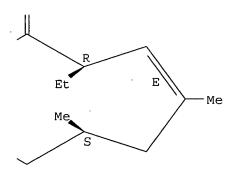
Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A

PAGE 1-B

PAGE 2-B



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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF LOGOFF? (Y)/N/HOLD:.

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